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File: DWPI

Jan 18, 1989

DERWENT-ACC-NO: 1989-016988

DERWENT-WEEK: 199948

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TITLE: New 2-tetrazole-carboxamide benzothiophene(s) - for  
treating acute respiratory distress syndrome allergy,  
cardiovascular disorders, psoriasis, inflammation or migraine

INVENTOR: CONNOR, D T; MULLICAN, M D ; SORENSON, R J ; THUESON, D  
O

**PATENT-ASSIGNEE:**

ASSIGNEE

CODE

WARNER LAMBERT CO

WARN

WARNER-LAMBERT CO

WARN

PRIORITY-DATA: 1988US-0191699 (May 17, 1988), 1987US-0073554  
(July 14, 1987)

**PATENT-FAMILY:**

PUB-NO	PUB-DATE	LANGUAGE	PAGES	MAIN-IPC
EP 299457 A	January 18, 1989	E	020	N/A
NO 8803100 A	February 6, 1989	N/A	000	N/A
AU 8818577 A	January 19, 1989	N/A	000	N/A
DK 8803884 A	January 15, 1989	N/A	000	N/A
FI 8803300 A	January 15, 1989	N/A	000	N/A
JP 01110682 A	April 27, 1989	N/A	000	N/A
PT 87975 A	June 30, 1989	N/A	000	N/A
CN 1031084 A	February 15, 1989	N/A	000	N/A
ZA 8804463 A	February 28, 1990	N/A	000	N/A
AU 9061362 A	November 29, 1990	N/A	000	N/A
FI 91256 B	February 28, 1994	N/A	000	C07D409/12
CA 1330562 C	July 5, 1994	N/A	000	C07D409/12
EP 299457 B1	September 21, 1994	E	027	C07D409/12
DE 3851584 G	October 27, 1994	N/A	000	C07D409/12
ES 2063747 T3	January 16, 1995	N/A	000	C07D409/12
IE 64995 B	September 20, 1995	N/A	000	C07D409/12
PH 27279 A	May 4, 1993	N/A	000	C07D409/12
JP 2672980 B2	November 5, 1997	N/A	011	C07D405/12
KR 9711580 B1	July 12, 1997	N/A	000	C07D405/12

DESIGNATED-STATES: AT BE CH DE ES FR GB GR IT LI LU NL SE AT BE  
CH DE ES FR GB GR IT LI LU NL SE

CITED-DOCUMENTS:3.Jnl.Ref; A3...198929 ; EP 146243 ; EP 186367 ;  
EP 187487 ; EP 249236 ; EP 253650 ; No-SR.Pub ; US 4140785 ;  
01Jnl.Ref

## APPLICATION-DATA:

PUB-NO	APPL-DATE	APPL-NO	DESCRIPTOR
EP 299457A	July 13, 1988	1988EP-0111224	N/A
JP 01110682A	July 13, 1988	1988JP-0172808	N/A
ZA 8804463A	June 22, 1988	1988ZA-0004463	N/A
FI 91256B	July 11, 1988	1988FI-0003300	N/A
FI 91256B		FI 8803300	Previous Publ.
CA 1330562C	June 30, 1988	1988CA-0570900	N/A
EP 299457B1	July 13, 1988	1988EP-0111224	N/A
DE 3851584G	July 13, 1988	1988DE-3851584	N/A
DE 3851584G	July 13, 1988	1988EP-0111224	N/A
DE 3851584G		EP 299457	Based on
ES 2063747T3	July 13, 1988	1988EP-0111224	N/A
ES 2063747T3		EP 299457	Based on
IE 64995B	June 21, 1988	1988IE-0001879	N/A
PH 27279A	July 13, 1988	1988PH-0037319	Div ex
PH 27279A	October 30, 1989	1989PH-0039440	N/A
JP 2672980B2	July 13, 1988	1988JP-0172808	N/A
JP 2672980B2		JP 1110682	Previous Publ.
KR 9711580B1	July 14, 1988	1988KR-0008717	N/A

INT-CL (IPC): A61K 31/41; C07D 307/85; C07D 333/70; C07D 405/12;  
C07D 409/12

RELATED-ACC-NO: 1990-131809;1990-201034

ABSTRACTED-PUB-NO: EP 299457A

## BASIC-ABSTRACT:

Benzothiophenes of formula (I) and their salts are new: X1, Y1 = O or S; R1, R2 = H or OR4; R4 = H, 1-6C alkyl or phenyl opt. mono- or disubstd. by halo, CF3, 1-6C alkyl, OH, 1-6C alkoxy, 1-6C alkylthio or COOR10; or R4 is opt. substd phenyl and is attached to the benzothiophene or benzofuran ring system through a 1-4C alkylene; R10 = H, 1-6C alkyl, NO2, NH2, mono-or di(1-6C alkyl)amino; R3 = R4 except H; (is when R1R2 = OH or alkoxy, then R3 cannot be alkyl or aralkyl, and both R1 and R2 cannot be H. Also claimed is use of a pharmaceutical compsn. cont. (II), for treating acute respiratory distress, synchronie in humans. Y2, Y2 = O or S; R6, R7 = H, halo or OR8; R8 = R4 except 1-6C alkyl; if R1 and R2 cannot both be H; R5 = R8.

USE/ADVANTAGE - Known (I) are disclosed in EP-146243. (I) prevent

release of mediators e.g. histamine and leukotinenes from basophils and mast cells and prevent respiratory burst in reutrophils. (I) are thus useful in the treatment of allergies, cardiovascular disorders, inflammation, ulcers, psoriasis, ischaemic disorders (stroke, TIA, hernia, embolism and thrombus) and migraine.

ABSTRACTED-PUB-NO:

EP 299457B

EQUIVALENT-ABSTRACTS:

A compound of the formula (I) and pharmaceutically acceptable salts thereof; wherein X1 is oxygen or sulphur; Y1 is oxygen; R1 and R2 are independently hydrogen or OR4 wherein R4 is hydrogen, alkyl of from 1 to 6 carbons, or phenyl, unsubstituted or mono- or disubstituted by halogen, trifluoromethyl, straight chained or branched alkyl of from 1 to 6 carbons, hydroxy, straight chained or branched alkoxy of from 1 to 6 carbons, straight chained or branched alkylthio of from 1 to 6 carbons or a group of the general formula COOR10 wherein R10 is hydrogen, alkyl of from 1 to 6 carbons, nitro, amino, mono- or dialkylamino of from 1 to 6 carbons in the straight or branched alkyl chain, or R4 is unsubstituted or substituted phenyl as defined above and attached to the benzothiophene or benzofuran ring system through a straight or branched alkylenyl chain of from 1 to 4 carbons, R3 has the same meaning as for R4 given above with the exception of hydrogen and with the proviso that when R1 and R2 are independently hydroxy or alkoxy then R3 cannot be alkyl or aralkyl, and both R1 and R2 cannot be hydrogen at the same time.

CHOSEN-DRAWING: Dwg.0/0 Dwg.0/0

TITLE-TERMS: NEW TETRAZOLE CARBOXAMIDE BENZOTHIOPHENE TREAT ACUTE RESPIRATION DISTRESS SYNDROME ALLERGIC CARDIOVASCULAR DISORDER PSORIASIS INFLAMMATION MIGRAINE

DERWENT-CLASS: B02

CPI-CODES: B06-A01; B06-B01; B12-A07; B12-C10; B12-D02; B12-D06; B12-D07; B12-E08; B12-F01; B12-K06;

CHEMICAL-CODES:

Chemical Indexing M2 \*01\*

Fragmentation Code

D013	D021	D022	D023	D100	D300	F015	F570	G010	G011
G012	G013	G014	G015	G016	G019	G100	H100	H101	H102
H103	H141	H142	H143	H341	H342	H343	H401	H402	H403
H404	H405	H441	H442	H443	H444	H5	H521	H541	H542
H543	H592	H594	H599	H601	H602	H603	H604	H608	H609
H641	H642	H643	H685	H689	J0	J011	J012	J013	J014
J131	J132	J133	J231	J232	J3	J311	M1	M122	M126
M129	M132	M135	M136	M139	M141	M149	M150	M210	M211
M212	M213	M214	M215	M216	M231	M232	M233	M240	M271
M272	M273	M280	M281	M282	M283	M311	M312	M313	M314
M320	M321	M322	M323	M331	M332	M333	M340	M342	M344
M353	M373	M391	M392	M393	M412	M511	M521	M530	M531
M532	M533	M540	M630	M640	M650	M710	M781	M903	M904

P411 P420 P431 P432 P448 P520 P522 P523 P617 P738  
P820 P943  
Ring Index  
00061  
Markush Compounds  
198903-06201-N 198903-06202-U

## Chemical Indexing M2 \*02\*

## Fragmentation Code

C009 C017 C035 C053 D013 D021 D022 D023 D100 D300  
G010 G011 G012 G013 G014 G015 G016 G019 G100 H100  
H101 H102 H103 H141 H142 H143 H341 H342 H343 H401  
H402 H403 H404 H441 H442 H443 H444 H5 H521 H541  
H542 H543 H594 H599 H6 H601 H602 H603 H604 H608  
H609 H621 H641 H642 H643 H685 H689 H8 J011 J012  
J013 J014 J131 J132 J133 J231 J232 K0 L5 L512  
M122 M129 M132 M135 M139 M141 M149 M150 M210 M211  
M212 M213 M214 M215 M216 M231 M232 M233 M240 M271  
M272 M273 M280 M281 M282 M283 M311 M312 M313 M314  
M320 M321 M322 M331 M332 M333 M340 M342 M373 M391  
M392 M412 M511 M520 M530 M531 M532 M540 M710 M903  
M904

## Markush Compounds

198903-06203-N

## Chemical Indexing M2 \*03\*

## Fragmentation Code

A546 C810 M411 M730 M903 Q421

UNLINKED-DERWENT-REGISTRY-NUMBERS: 0271S; 0714S ; 0868S

SECONDARY-ACC-NO:

CPI Secondary Accession Numbers: C1989-007627